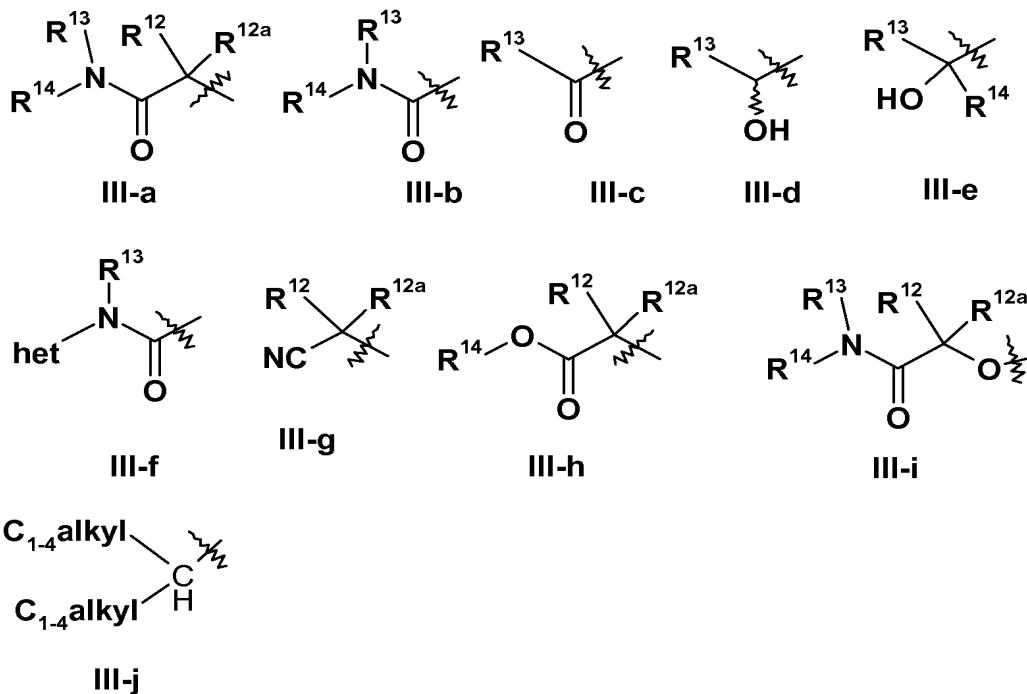


### Amendments to the Specification

Please replace paragraph [0015] with the following amended paragraph:

[0015]  $R^5$  is selected from an optionally substituted 3 to 8 membered heterocyclic ring containing from 1 to 4 heteroatoms independently selected from O, N and S; or a group of formula III-a; III-b; III-c; III-d; III-e; III-f, III-g, III-h, III-i or III-j[[:]]:

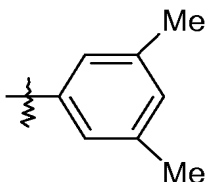


Please replace paragraph [0020] with the following amended paragraph:

[0020] together from an optionally substituted 3- to 8- membered heterocyclic ring containing from 1 to 3 further heteroatoms independently selected from O, N and S, and  $R^{6a}$  represents hydrogen and or optionally substituted C<sub>1-8</sub>alkyl;

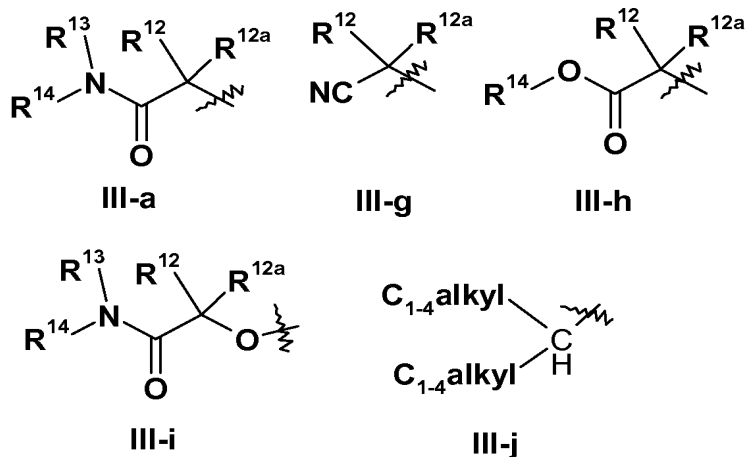
Please replace paragraph [0061] with the following amended paragraph:

[0061] Preferably  $R^2$  represents an optionally substituted monocyclic aromatic ring structure wherein the optional substituents are selected from cyano,  $NR^{3[e]}R^{3a[f]}$ , optionally substituted  $C_{1-8}$ alkyl (preferably,  $C_{1-4}$ alkyl, eg, methyl or ethyl), optionally substituted  $C_{1-8}$ alkoxy (preferably,  $C_{1-6}$ alkoxy, eg, methoxy, ethoxy or *tert*-butoxy) or halo (eg, F, Br or Cl) wherein  $R^{3[e]}$  and  $R^{3a[f]}$  are independently selected from hydrogen,  $C_{1-6}$ alkyl or aryl. Further preferably  $R^2$  is optionally substituted phenyl wherein the optional substituents are selected from cyano,  $NR^{3[e]}R^{3a[f]}$ , optionally substituted  $C_{1-4}$ alkyl, optionally substituted  $C_{1-6}$ alkoxy, F, Br or Cl wherein  $R^{3[e]}$  and  $R^{3a[f]}$  are as defined above. Yet further preferably  $R^2$  is optionally substituted phenyl wherein the optional substituents are selected from methyl, ethyl, methoxy, ethoxy, *tert*-butoxy, F or Cl. Most preferably  $R^2$  represents



Please replace paragraph [0064] with the following amended paragraph:

[0064] Preferably  $R^5$  is selected from a group of formula III-a, III-g, III-h, or III-i or III-j:



Please replace paragraph [0077] with the following amended paragraph:

[0077] Preferably  $R^9$  comprise part of the group  $N(R^9R^{10})$  or is hydrogen, optionally substituted aryl, an optionally substituted 3- to 10 membered heterocyclic ring or optionally substituted  $C_{1-4}$ alkyl wherein the optional substituents are selected from: hydroxy, amino, nitro, cyano, optionally-substituted aryl, optionally substituted 3- to 8- membered heterocyclyl containing from 1 to 4 heteroatoms independently selected from O, N and S,  $-O-R^b$ ,  $C(O)NR^bR^c$ ,  $-NR^bR^c$ ,  $-NR^cC(O)-R^b$ ,  $-C(O)NR^bR^c$ ,  $-NR^cS(O_{0-2})R^b$ [[.]] and  $-S(O_{0-2})R^b$ , wherein  $R^b$  and  $R^c$  are as defined above.

Please replace paragraph [0081] with the following amended paragraph:

[0081]  $R^{16}$  represents hydrogen, aryl, a 3- to 10 membered heterocyclic ring or optionally substituted  $C_{1-4}$ alkyl wherein the optional substituents are selected from: hydroxy, amino, nitro, cyano, optionally-substituted phenyl, optionally substituted 3- to 8- membered heterocyclyl containing from 1 to 4 heteroatoms independently selected from O, N and S,  $-O-R^b$ ,  $C(O)NR^bR^c$ ,  $-NR^bR^c$ ,  $-NR^cC(O)-R^b$ ,  $-C(O)NR^bR^c$ ,  $-NR^cS(O_{0-2})R^b$ [[.]] and  $-S(O_{0-2})R^b$ , wherein  $R^b$  and  $R^c$  are as defined above;

Please replace paragraph [0085] with the following amended paragraph:

[0085] Most preferably the structure  $N(R^9R^{10})$  is a group of formula **V-c**:  
 $R^{15}$  represents hydrogen, optionally substituted aryl, an optionally substituted 3- to 10 membered heterocyclic ring or optionally substituted  $C_{1-4}$ alkyl wherein the optional substituents on aryl, a heterocyclic ring or  $C_{1-4}$ alkyl are selected from: hydroxy, amino, nitro, cyano, halo, optionally-substituted aryl, optionally substituted 3- to 8- membered heterocyclyl containing from 1 to 4 heteroatoms independently selected from O, N and S,  $-O-R^b$ ,  $C(O)NR^bR^c$ ,  $-NR^bR^c$ ,  $-NR^cC(O)-R^b$ ,  $-C(O)NR^bR^c$ ,  $-NR^cS(O_{0-2})R^b$ [[.]] and  $-S(O_{0-2})R^b$ , wherein  $R^b$  and  $R^c$  are as defined above. Preferably  $R^{15}$  is heterocyclyl. Further preferably  $R^{15}$  is selected from: pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl or thiazolyl. Most preferably  $R^{15}$  is pyridyl.

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Please replace paragraph [0119] with the following amended paragraph:

[0119] 3-[2,2-dimethyl-3-oxo-3-(7-azabicyclo[2.2.1]heptan-7-yl)propoxy]-4-[(1S)-1-methyl-2-[(N'-isopropoxycarbonyl)imino(3-pyridin-4-yl-pyrrolidin-1-yl)methyl]carboximidamido)aminoethyl]-5-(3,5-dimethylphenyl)-1*H*-pyrazole;

In case further clarification is needed, paragraph [0119] should now read:

[0119] 3-[2,2-dimethyl-3-oxo-3-(7-azabicyclo[2.2.1]heptan-7-yl)propoxy]-4-[(1S)-1-methyl-2-[(isopropoxycarbonyl)imino(3-pyridin-4-yl-pyrrolidin-1-yl)methyl]aminoethyl]-5-(3,5-dimethylphenyl)-1*H*-pyrazole;

Please replace paragraph [0210] with the following amended paragraph:

[0210] <sup>1</sup>H NMR spectrum (DMSO d<sub>6</sub>) : 1.39 (s, 6H) ; 1.9 (m, 4H) ; 3.57 (m, 4H) ; 3.62 (s, 2H)

MS-ESI : 235 [M+H]<sup>+</sup>

**Example 1**

**3-[2,2-dimethyl-3-oxo-3-(pyrrolidin-1-yl)propoxy]-4-[2-(3-pyridin-4-ylpyrrolidin-1-ylcarboxamido)ethyl]-5-(3,5-dimethylphenyl)-1*H*-pyrazole**

In case further clarification is needed, paragraph [0210] should now read:

[0210] <sup>1</sup>H NMR spectrum (DMSO d<sub>6</sub>) : 1.39 (s, 6H) ; 1.9 (m, 4H) ; 3.57 (m, 4H) ; 3.62 (s, 2H)

MS-ESI : 235 [M+H]<sup>+</sup>

**Example 1**

**3-[2,2-dimethyl-3-oxo-3-(pyrrolidin-1-yl)propoxy]-4-[2-(3-pyridin-4-ylpyrrolidin-1-ylcarboxamido)ethyl]-5-(3,5-dimethylphenyl)-1*H*-pyrazole**

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Please replace paragraph [0215] with the following amended paragraph:

[0215] MS-ESI : 559 [M+H]<sup>+</sup>

**Example 2**

**3-[2,2-dimethyl-3-oxo-3-pyrrolidin-1-ylpropoxy]~~(azabicyclo[2.2.1]heptan-7-yl)propyl~~-4-[2-  
[(N'-isopropoxycarbonyl)imino-(3-pyridin-4-yl-pyrrolidin-1-  
yl)~~carboximidamide~~methyl]aminoethyl]-5-(3,5-dimethylphenyl)-1H-pyrazole**

In case further clarification is needed, paragraph [0215] should now read:

[0215] MS-ESI : 559 [M+H]<sup>+</sup>

**Example 2**

**3-[2,2-dimethyl-3-oxo-3-pyrrolidin-1-ylpropoxy]-4-[2-[(isopropoxycarbonyl)imino(3-  
pyridin-4-yl-pyrrolidin-1-yl)methyl]aminoethyl]-5-(3,5-dimethylphenyl)-1H-pyrazole**

Please replace paragraph [0225] with the following amended paragraph:

[0225] MS-ESI : 530 [M+H]<sup>+</sup>

**Example 3**

**3-[2,2-dimethyl-3-oxo-3-(N,N-diethylamino)propoxy]-4-[2-[(N'-  
isopropoxycarbonyl)imino(-3-pyridin-4-yl-pyrrolidin-1-  
yl)methyl]~~carboximidamide~~aminoethyl]-5-(3,5-dimethylphenyl)-1H-pyrazole**

In case further clarification is needed, paragraph [0225] should now read:

[0225] MS-ESI : 530 [M+H]<sup>+</sup>

**Example 3**

**3-[2,2-dimethyl-3-oxo-3-(N,N-diethylamino)propoxy]-4-[2-[(isopropoxycarbonyl)imino(3-  
pyridin-4-yl-pyrrolidin-1-yl)methyl]aminoethyl]-5-(3,5-dimethylphenyl)-1H-pyrazole**

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Please replace paragraph [0249] with the following amended paragraph:

[0249] MS-ESI : 237 [M+H]<sup>+</sup>

**Example 4**

**3-[2,2-dimethyl-3-oxo-3-(N,N-diethylamino)propoxy]-4-[2-(3-pyridin-4-ylpyrrolidin-1-ylcarboxamido)ethyl]-5-(3,5-dimethylphenyl)-1*H*-pyrazole**

In case further clarification is needed, paragraph [0249] should now read:

[0249] MS-ESI : 237 [M+H]<sup>+</sup>

**Example 4**

**3-[2,2-dimethyl-3-oxo-3-(N,N-diethylamino)propoxy]-4-[2-(3-pyridin-4-ylpyrrolidin-1-ylcarboxamido)ethyl]-5-(3,5-dimethylphenyl)-1*H*-pyrazole**

Please replace paragraph [0254] with the following amended paragraph:

[0254] MS-ESI : 561 [M+H]<sup>+</sup>

**Example 5**

**3-[2,2-dimethyl-3-oxo-3-(7-azabicyclo[2.2.1]heptan-7-yl)propoxy]-4-[(1*S*)-1-methyl-2-[(N'-isopropoxycarbonyl)imino(3-pyridin-4-yl-pyrrolidin-1-yl)methyl]carboximidamido)aminoethyl]-5-(3,5-dimethylphenyl)-1*H*-pyrazole**

In case further clarification is needed, paragraph [0254] should now read:

[0254] MS-ESI : 561 [M+H]<sup>+</sup>

**Example 5**

**3-[2,2-dimethyl-3-oxo-3-(7-azabicyclo[2.2.1]heptan-7-yl)propoxy]-4-[(1*S*)-1-methyl-2-[(isopropoxycarbonyl)imino(3-pyridin-4-yl-pyrrolidin-1-yl)methyl]aminoethyl]-5-(3,5-dimethylphenyl)-1*H*-pyrazole**

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Please replace paragraph [0270] with the following amended paragraph:

[0270] <sup>1</sup>H NMR spectrum (DMSO d<sub>6</sub>) : 1.07 (m, 6H) ; 1.11 (m, 3H) ; 1.28 (m, 6H) ; 1.42 (m, 4H) ; 1.5 (m, 2H) ; 1.62 (m, 4H) ; 1.72 (m, 2H) ; 2.30 (s, 6H) ; 2.7 (m, 1H) ; 2.9 (m, 2H) ; 2.95 (m, 1H) ; 3.2-3.4 (m, 2H) ; 3.85 (m, 2H) ; 4.17 (m, 2H) ; 4.57 (m, 3H) ; 7.01 (s, 1H) ; 7.06 (s, 2H); 7.18 (d, 2H) ; 7.5 (s br, 1H) ; 8.45 (d, 2H) ; 11.81 (s, 1H).

**Example 6**

**3-[2,2-dimethyl-3-oxo-3-(7-azabicyclo[2.2.1]heptan-7-yl)propoxy]-4-[(1S)-1-methyl-2-(3-pyridin-4-ylpyrrolidin-1-ylcarboxamido)ethyl]-5-(3,5-dimethylphenyl)-1*H*-pyrazole**

In case further clarification is needed, paragraph [0270] should now read:

[0270] <sup>1</sup>H NMR spectrum (DMSO d<sub>6</sub>) : 1.07 (m, 6H) ; 1.11 (m, 3H) ; 1.28 (m, 6H) ; 1.42 (m, 4H) ; 1.5 (m, 2H) ; 1.62 (m, 4H) ; 1.72 (m, 2H) ; 2.30 (s, 6H) ; 2.7 (m, 1H) ; 2.9 (m, 2H) ; 2.95 (m, 1H) ; 3.2-3.4 (m, 2H) ; 3.85 (m, 2H) ; 4.17 (m, 2H) ; 4.57 (m, 3H) ; 7.01 (s, 1H) ; 7.06 (s, 2H); 7.18 (d, 2H) ; 7.5 (s br, 1H) ; 8.45 (d, 2H) ; 11.81 (s, 1H).

**Example 6**

**3-[2,2-dimethyl-3-oxo-3-(7-azabicyclo[2.2.1]heptan-7-yl)propoxy]-4-[(1S)-1-methyl-2-(3-pyridin-4-ylpyrrolidin-1-ylcarboxamido)ethyl]-5-(3,5-dimethylphenyl)-1*H*-pyrazole**